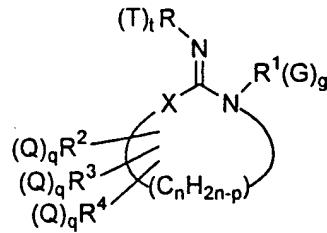


We claim:

1. A compound having the formula



wherein

R is

aryl of 6 - 14 carbons; or

heteroaryl of 3 - 10 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S, with the proviso that R is other than benzofuran or benzothiophene;

$R^1$  is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

heterocycloalkyl of 4- 7 carbons and containing 1 - 3 rings and 1 - 3

heteroatoms selected from the group consisting of N, O, and S;

alkenyl of 2 - 10 carbons

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or

alkynyl of 3 - 10 carbons:

$R^2$ ,  $R^3$ , and  $R^4$  are independently selected from the group consisting of

H.

alkyl of 1 - 10 carbons:

cycloalkyl of 3 - 12 carbons.

alkenyl of 2 - 10 carbons:

cycloalkenyl of 5 - 12 carbons:

aryl of 6–13 carbons:

heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

CO<sub>2</sub> R<sup>5</sup>: wherein

$R^5$  is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons, cycloalkyl of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons:

halogen: and

=O, representing two of the groups  $R^2$ ,  $R^3$ , and  $R^4$ :

50

X is O or S(O)<sub>y</sub>; wherein

y is 0, 1, or 2;

n is 2, 3, 4, or 5;

p is the sum of non-H substituents R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;

5 T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

aryl of 6 - 10 carbons;

CO<sub>2</sub>H;

CO<sub>2</sub>R<sup>5</sup>;

alkenyl of 2 - 4 carbons;

alkynyl of 2 - 4 carbons;

C(O)C<sub>6</sub>H<sub>5</sub>;

C(O)N(R<sup>6</sup>)(R<sup>7</sup>); wherein

15 R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and

R<sup>7</sup> is H or alkyl of 1 - 5 carbons;

S(O)<sub>y</sub>R<sup>8</sup>; wherein

y' is 1 or 2; and

R<sup>8</sup> is alkyl of 1 - 5 carbons;

20 SO<sub>2</sub>F;

CHO;

OH;

NO<sub>2</sub>;

CN;

25 halogen;

OCF<sub>3</sub>;

N-oxide;

O-C(R<sup>9</sup>)<sub>2</sub>-O, the oxygens being connected to adjacent positions on R;

and wherein

30 R<sup>9</sup> is H, halogen, or alkyl of 1 - 4 carbons;

C(O)NHC(O), the carbons being connected to adjacent positions on R; and

C(O)C<sub>6</sub>H<sub>4</sub>, the carbonyl carbon and the ring carbon ortho to the carbonyl being connected to adjacent positions on R;

35 t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, alkoxy of 1 - 4 carbons, aryl of 6 - 10 carbons, CO<sub>2</sub>R<sup>5</sup>, alkenyl of 2 - 4 carbons, alkynyl of 2 - 4 carbons, C(O)C<sub>6</sub>H<sub>5</sub>, C(O)N(R<sup>6</sup>)(R<sup>7</sup>), S(O)<sub>y</sub>R<sup>8</sup>,

*Sub A<sup>2</sup>*

5            O-C(R<sup>9</sup>)<sub>2</sub>-O , or C(O)C<sub>6</sub>H<sub>4</sub> , then T optionally may bear secondary substituents selected from the group consisting of alkyl of 1 - 4 carbons; alkoxy of 1 - 4 carbons; CO<sub>2</sub>R<sup>5</sup>; CO<sub>2</sub>H; C(O)N(R<sup>6</sup>)(R<sup>7</sup>); CHO; OH; NO<sub>2</sub>; CN; halogen; S(O)yR<sup>8</sup>; or =O, the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

10            G is a substituent selected from the group consisting of halogen; OH; OR<sup>5</sup>; =O , representing two substituents G;

15            alkyl of 1 - 4 carbons; alkenyl of 1 - 4 carbons; cycloalkyl of 3- 7 carbons; heterocycloalkyl of 3 - 5 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

20            cycloalkenyl of 5 - 7 carbons; heterocycloalkenyl of 4 - 6 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

25            CO<sub>2</sub>R<sup>5</sup>; C(O)N(R<sup>6</sup>)(R<sup>7</sup>); aryl of 6 - 10 carbons; heteroaryl of 3 - 9 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

30            NO<sub>2</sub>; CN; S(O)<sub>y</sub>R<sup>8</sup>; SO<sub>3</sub>R<sup>8</sup>; and SO<sub>2</sub>N(R<sup>6</sup>)(R<sup>7</sup>);

35            g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level; provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, heterocycloalkyl of 3 - 5 carbons, cycloalkenyl of 5 - 7 carbons, or heterocycloalkenyl of 4 - 6 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl or heteroaryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and

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halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

5      alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons;

10     aryl of 6 - 10 carbons;

heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

CO<sub>2</sub>R<sup>5</sup>;

=O, representing two substituents Q;

15     OH;

halogen;

N(R<sup>6</sup>)(R<sup>7</sup>);

S(O)<sub>y</sub>R<sup>8</sup>;

SO<sub>3</sub>R<sup>8</sup>; and

20     SO<sub>2</sub>N(R<sup>6</sup>)(R<sup>7</sup>);

q is 0 - 4

provided that when substituent Q is aryl or heteroaryl, then Q optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties and up to the perhalo level for halogen; and

25     with the further provisos that:

a) two of (Q)<sub>q</sub>R<sup>1</sup>, (Q)<sub>q</sub>R<sup>2</sup>, (Q)<sub>q</sub>R<sup>3</sup>, and (Q)<sub>q</sub>R<sup>4</sup> may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;

30     b) when n = 2 or 3, at least one of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> is other than H;

c) when n = 2, and X = O, if t = 1, then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;

35     d) when n = 3 and X = O, if t is equal to or greater than 1, then at least one T is selected from the list of substituents T above, excepting alkyl and alkoxy;

*Sub R<sup>2</sup>*

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e) when  $n = 2$  or  $3$  and  $X = O$  or  $S$ , then the sum of non-hydrogen atoms in  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is at least  $5$ ;

f) when  $n = 2$ ,  $X = O$ , the 4-position of the 1,3-oxazolidine ring bears a carbonyl group, and  $R$  bears halogen at its 2- and 4- positions, then the 5-position of  $R$  bears  $H$ ;

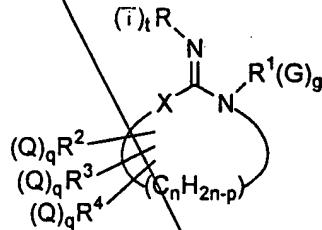
g) when  $n = 2$  and  $X = O$ , the 4-position of the 1,3-oxazolidine ring may bear a carbonyl only if the 5-position of said ring bears at least one non- $H$  substituent;

h) when  $n = 2$ ,  $X = S(O)<sub>y</sub>$ , the 4-position of the 1,3-thiazolidine ring bears a carbonyl group,  $R^1$  is a substituted methyl group, and  $G$  is a phenyl group, then said phenyl group bears a secondary substituent;

i) when  $n = 4$ ,  $X = S$ , and  $G$  is  $CO_2R^5$ , then  $R^5$  contains at least two carbons;

and pharmaceutically acceptable salts thereof.

2. A compound having the formula



wherein

$R$  is

phenyl; or

pyridyl;

$R^1$  is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

alkenyl of 2 - 10 carbons;

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or

alkynyl of 3 - 10 carbons;

$R^2$ ,  $R^3$ , and  $R^4$  are independently selected from the group consisting of

$H$ ;

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons;

alkenyl of 2 - 10 carbons;

cycloalkenyl of 5 - 12 carbons; and  
 $=O$ , representing two of the groups  $R^2$ ,  $R^3$ , and  $R^4$ ;  
 X is O or  $S(O)_y$ ; wherein  
 y is 0, 1, or 2;  
 5 n is 2 or 3;  
 p is the sum of non-H substituents  $R^2$ ,  $R^3$ , and  $R^4$ ;  
 T is a substituent selected from the group consisting of  
 10 alkyl of 1 - 4 carbons;  
 alkoxy of 1 - 4 carbons;  
 alkenyl of 2 - 4 carbons;  
 alkynyl of 2 - 4 carbons;  
 $NO_2$ ;  
 CN; and  
 halogen;  
 15 t is 1 - 5;  
 provided that when substituent moiety T is alkyl of 1 - 4 carbons,  
 alkoxy of 1 - 4 carbons, alkenyl of 2 - 4 carbons, or alkynyl of 2 - 4  
 carbons, then T optionally may bear secondary substituents selected  
 from the group consisting of  
 20 alkyl of 1 - 4 carbons;  
 alkoxy of 1 - 4 carbons;  
 $CO_2R^5$ ; wherein  
 $R^5$  is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons,  
 cycloalkyl of 3 - 6 carbons, or halocycloalkyl of  
 25 3 - 6 carbons;  
 $CO_2H$ ;  
 $C(O)N(R^6)(R^7)$ ; wherein  
 $R^6$  is H or alkyl of 1 - 5 carbons; and  
 $R^7$  is H or alkyl of 1 - 5 carbons;  
 30  $CHO$ ;  
 $OH$ ;  
 $NO_2$ ;  
 CN;  
 halogen;  
 35  $S(O)_yR^8$ ; wherein  
 $R^8$  is alkyl of 1 - 5 carbons; and  
 $=O$ , representing two secondary substituents;

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the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

G is a substituent selected from the group consisting of

halogen;

OR<sup>5</sup>;

alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

cycloalkenyl of 5 - 7 carbons;

aryl of 6 - 10 carbons; and

CN;

g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, or cycloalkenyl of 5 - 7 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;

Q is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

haloalkyl of 1 - 4 carbons;

cycloalkyl of 3 - 8 carbons;

alkoxy of 1 - 8 carbons;

alkenyl of 2 - 5 carbons;

cycloalkenyl of 5 - 8 carbons;

CO<sub>2</sub>R<sup>5</sup>;

=O, representing two substituents Q;

OH;

halogen;

N(R<sup>6</sup>)(R<sup>7</sup>); and

S(O)<sub>y</sub>R<sup>8</sup>;

q is 0 - 4;

and

with the further provisos that:

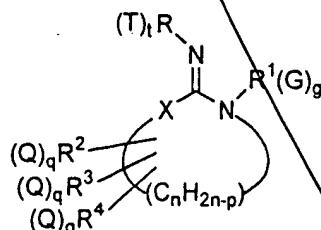
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- a) two of  $(Q)_q R^1$ ,  $(Q)_q R^2$ ,  $(Q)_q R^3$ , and  $(Q)_q R^4$  may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;
- b) when  $n = 2$  or  $3$ , at least one of  $R^2$ ,  $R^3$ , and  $R^4$  is other than H;
- c) when  $n = 2$ , and  $X = O$ , if  $t = 1$ , then T is selected from the list of substituents T above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;
- d) when  $n = 3$  and  $X = O$ , if  $t$  is equal to or greater than 1, then at least one T is selected from the list of substituents T above, excepting alkyl and alkoxy;
- e) when  $n = 2$  or  $3$  and  $X = O$  or S, then the sum of non-hydrogen atoms in  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is at least 5;
- f) when  $n = 2$ ,  $X = O$ , the 4-position of the 1,3-oxazolidine ring bears a carbonyl group, and R bears halogen at its 2- and 4- positions, then the 5-position of R bears H;
- g) when  $n = 2$  and  $X = O$ , the 4-position of the 1,3-oxazolidine ring may bear a carbonyl only if the 5-position of said ring bears at least one non-H substituent; and
- h) when  $n = 2$ ,  $X = S(O)_y$ , the 4-position of the 1,3-thiazolidine ring bears a carbonyl group,  $R^1$  is a substituted methyl group, and G is a phenyl group, then said phenyl group bears a secondary substituent;

and pharmaceutically acceptable salts thereof.

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3. A compound having the formula



wherein

R is

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phenyl; or

pyridyl;

R! is

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;

alkenyl of 2 - 10 carbons; or

cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings;

$R^1$ ,  $R^3$ , and  $R^4$  are independently selected from the group consisting of

5 H;

alkyl of 1 - 10 carbons;

cycloalkyl of 3 - 12 carbons;

alkenyl of 2 - 10 carbons; and

cycloalkenyl of 5 - 12 carbons;

10 X is O or  $S(O)_y$ ; wherein

y is 0, 1, or 2;

n is 2 or 3;

p is the sum of non-H substituents  $R^2$ ,  $R^3$ , and  $R^4$ ;

T is a substituent selected from the group consisting of

15 alkyl of 1 - 4 carbons;

alkenyl of 2 - 4 carbons;

$NO_2$ ;

$CN$ ; and

halogen;

20 t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons, or alkenyl of 2 - 4 carbons, then T optionally may bear secondary substituents selected from the group consisting of

alkyl of 1 - 4 carbons;

alkoxy of 1 - 4 carbons;

$CO_2R^5$ ; wherein

$R^5$  is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons,

cycloalkyl of 3 - 6 carbons, or halocycloalkyl of

3 - 6 carbons;

30  $CO_2H$ ;

$C(O)N(R^6)(R^7)$ ; wherein

$R^6$  is H or alkyl of 1 - 5 carbons; and

$R^7$  is H or alkyl of 1 - 5 carbons;

CHO;

OH;

$NO_2$ ;

$CN$ ;

halogen;

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*S(O)yR<sup>8</sup>; wherein*

*R<sup>8</sup> is alkyl of 1 - 5 carbons; and*

*=O;*

the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

*G is a substituent selected from the group consisting of*

*halogen;*

*alkyl of 1 - 4 carbons;*

*alkenyl of 1 - 4 carbons;*

*cycloalkyl of 3 - 7 carbons;*

*cycloalkenyl of 5 - 7 carbons; and*

*aryl of 6 - 10 carbons;*

*g is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;*

15 *provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, or cycloalkenyl of 5 - 7 carbons, then G optionally may bear secondary substituents of halogen up to the perhalo level; and when substituent G is aryl, then G optionally may bear secondary substituents independently selected from the group consisting of alkyl of 1 - 4 carbons and halogen, the number of said secondary substituents being up to 3 for alkyl moieties, and up to the perhalo level for halogen;*

*Q is a substituent selected from the group consisting of*

*alkyl of 1 - 4 carbons;*

*haloalkyl of 1 - 4 carbons;*

*cycloalkyl of 3 - 8 carbons;*

*alkoxy of 1 - 8 carbons;*

*alkenyl of 2 - 5 carbons;*

*cycloalkenyl of 5 - 8 carbons; and*

*halogen;*

*q is 0 - 4;*

*and*

*with the further provisos that:*

35 a) *two of (Q)<sub>q</sub>R<sup>1</sup>, (Q)<sub>q</sub>R<sup>2</sup>, (Q)<sub>q</sub>R<sup>3</sup>, and (Q)<sub>q</sub>R<sup>4</sup> may be joined, and taken together with the atom(s) to which they are attached, form a spiro or nonspiro nonaromatic ring of 3 - 8 members containing 0 - 2 heteroatoms selected from the group consisting of N, O, and S;*

b) *when n = 2 or 3, at least one of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> is other than H;*

*Sub A<sup>2</sup>*

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c) when  $n = 2$ , and  $X = O$ , if  $t = 1$ , then  $T$  is selected from the list of substituents  $T$  above excepting alkyl, and the 4-position of the 1,3-oxazolidine ring must bear a substituent;  
 when  $n = 3$  and  $X = O$ , if  $t$  is equal to or greater than 1, then at least one  $T$  is selected from the list of substituents  $T$  above, excepting alkyl;  
 when  $n = 2$  or 3 and  $X = O$  or  $S$ , then the sum of non-hydrogen atoms in  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is at least 5;

10 and pharmaceutically acceptable salts thereof.

4. A compound of claim 1 selected from the group consisting of:

(4S)-2-(2-methyl-4-nitrophenylimino)-3-isobutyl-4-isopropyl-1,3-thiazolidine;

(4S)-2-(2-methyl-4-nitrophenylimino)-3,4-diisobutyl-1,3-thiazolidine;

(4S)-2-(2-methyl-4-nitrophenylimino)-3-isobutyl-4-(trifluoromethyl)-1,3-thiazolidine;

(4S)-2-(2-methyl-4-nitrophenylimino)-3-cyclopentyl-4-isobutyl-1,3-thiazolidine;

(4S)-2-(2-methyl-4-nitrophenylimino)-3-isobutyl-4-isopropyl-1,3-thiazolidine;

(4S)-2-(2-methyl-4-nitrophenylimino)-3-cyclopentyl-4-isopropyl-1,3-thiazolidine;

(4R)-2-(2-methyl-4-nitrophenylimino)-3-isobutyl-4-isopropyltetrahydro-2H-1,3-thiazine;

(4S)-2-(4-nitro-1-naphthylimino)-3-cyclopentyl-4-((1R)-1-hydroxyethyl)-1,3-thiazolidine;

2-(4-cyano-2-methylphenylimino)-1-cyclopentyl-3-thia-1-azaspiro[4.4]nonane;

2-(4-cyano-2-ethylphenylimino)-1-cyclopentyl-3-thia-1-azaspiro[4.4]nonane;

2-(4-cyanophenylimino)-1-cyclopentyl-3-thia-1-azaspiro[4.4]nonane;

2-(4-cyano-2-methylphenylimino)-1-isobutyl-3-thia-1-azaspiro[4.4]nonane;

2-(4-cyano-2,3-dimethylphenylimino)-1-isobutyl-3-thia-1-azaspiro[4.4]nonane;

2-(4-cyano-2-methylphenylimino)-1-(1-ethyl-1-propyl)-3-thia-1-azaspiro[4.4]nonane;

2-(4-cyano-1-naphthylimino)-1-isobutyl-3-thia-1-azaspiro[4.4]nonane;

2-(2-methyl-4-nitrophenylimino)-1-(prop-2-en-1-yl)-3-thia-1-

azaspiro[4.4]nonane;

2-(2-methyl-4-nitrophenylimino)-1-isopropyl-3-thia-1-azaspiro[4.4]nonane;  
 2-(2-methyl-4-nitrophenylimino)-1-isobutyl-3-thia-1-azaspiro[4.4]nonane;  
 2-(2-methyl-4-nitrophenylimino)-1-cyclopentyl-3-thia-1-azaspiro[4.4]nonane;  
 2-(3-methyl-4-nitrophenylimino)-1-cyclopentyl-3-thia-1-azaspiro[4.4]nonane;  
 5 2-(2-methyl-4-nitrophenylimino)-1-cyclohexyl-3-thia-1-azaspiro[4.4]nonane;  
 2-(2,3-dimethyl-4-nitrophenylimino)-1-cyclopentyl-3-thia-1-  
 azaspiro[4.4]nonane; and  
 2-(4-cyano-2,3-dimethylphenylimino)-1-cyclopentyl-3-thia-1-  
 azaspiro[4.4]nonane.

10 5. A compound of claim 1 selected from the group consisting of:  
 2-(2-methyl-4-nitrophenylimino)-3-isobutyl-1,3-thiazolidin-4-one;  
 2-(3-methyl-4-nitrophenylimino)-3-isobutyl-1,3-thiazolidin-4-one;  
 2-(2-methyl-4-nitrophenylimino)-3-benzyl-1,3-thiazolidin-4-one;  
 15 2-(3-methyl-4-nitrophenylimino)-3-benzyl-1,3-thiazolidin-4-one;  
 2-(2-methyl-4-nitrophenylimino)-3-(2-methyl-1-butyl)-1,3-thiazolidin-4-one;  
 2-(3-methyl-4-nitrophenylimino)-3-(2-methyl-1-butyl)-1,3-thiazolidin-4-one;  
 2-(2-methyl-4-nitrophenylimino)-3-(1-cyclohexyl-1-ethyl)-1,3-thiazolidin-4-  
 one;  
 20 2-(3-methyl-4-nitrophenylimino)-3-(1-cyclohexyl-1-ethyl)-1,3-thiazolidin-4-  
 one;  
 2-(2-methyl-4-nitrophenylimino)-3-(2-ethyl-1-butyl)-1,3-thiazolidin-4-one;  
 2-(2-methyl-4-nitrophenylimino)-3-isobutyl-5-methylene-1,3-thiazolidin-4-  
 one; and  
 25 2-(2-methyl-4-nitrophenylimino)-3-isobutyl-5-methyl-1,3-thiazolidin-4-one.

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6. A compound of claim 1 selected from the group consisting of:  
 2-(2-methyl-4-nitrophenylimino)-3-isobutyl-4,4-dimethyl-1,3-oxazolidine;  
 1-cyclopentyl-2-(4-cyano-2-ethylphenylimino)-3-oxa-1-azaspiro[4.4]nonane;  
 1-cyclopentyl-2-(2-methyl-4-nitrophenylimino)-3-oxa-1-azaspiro[4.4]nonane;  
 and  
 30 1-cyclohexyl-2-(2-methyl-4-nitrophenylimino)-3-oxa-1-azaspiro[4.4]nonane.

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β3

35 7. A pharmaceutical composition comprising a compound of claim 1, 2, 3, 4, 5  
 or 6, and a pharmaceutically acceptable carrier.

8. A method of treating a mammal by administering to said mammal an  
 effective amount of a compound for

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A1) enhancement of bone formation in bone weakening diseases for the treatment or prevention of osteopenia or osteoporosis;

A2) enhancement of fracture healing;

B1) use as a female contraceptive agent;

5 B2) prevention of endometrial implantation;

B3) induction of labor;

B4) treatment of luteal deficiency;

B5) enhanced recognition and maintenance of pregnancy;

B6) counteracting of preeclampsia, eclampsia of pregnancy, and preterm labor;

10 B7) treatment of infertility, including promotion of spermatogenesis, induction of the acrosome reaction, maturation of oocytes, or in vitro fertilization of oocytes;

C1) treatment of dysmenorrhea;

C2) treatment of dysfunctional uterine bleeding;

15 C3) treatment of ovarian hyperandrogynism;

C4) treatment of ovarian hyperaldosteronism;

C5) alleviation of premenstrual syndrome and of premenstrual tension;

C6) alleviation of perimenstrual behavior disorders;

C7) treatment of climacteric disturbance, including menopause transition, mood changes, sleep disturbance, and vaginal dryness;

20 C8) enhancement of female sexual receptivity and male sexual receptivity;

C9) treatment of postmenopausal urinary incontinence;

C10) improvement of sensory and motor functions;

C11) improvement of short term memory;

25 C12) alleviation of postpartum depression;

C13) treatment of genital atrophy;

C14) prevention of postsurgical adhesion formation;

C15) regulation of uterine immune function;

C16) prevention of myocardial infarction;

30 D1) hormone replacement;

E1) treatment of cancers, including breast cancer, uterine cancer, ovarian cancer, and endometrial cancer;

E2) treatment of endometriosis;

E3) treatment of uterine fibroids;

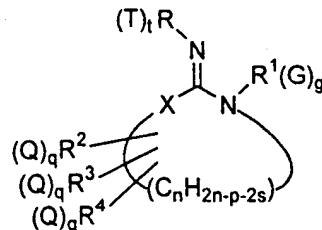
35 F1) treatment of hirsutism;

F2) inhibition of hair growth;

G1) activity as a male contraceptive;

G2) activity as an abortifacient; and

H(1) promotion of myelin repair;  
wherein said compound has the general formula



wherein  
R is

5 aryl of 6 - 14 carbons; or  
heteroaryl of 3 - 10 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S, with the proviso that R is other than benzofuran or benzothiophene;

10 R<sup>1</sup> is  
alkyl of 1 - 10 carbons;  
cycloalkyl of 3 - 12 carbons and containing 1 - 3 rings;  
heterocycloalkyl of 4 - 7 carbons and containing 1 - 3 rings and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

15 aryl of 6 - 10 carbons;  
heteroaryl of 3 - 9 carbons and containing 1 - 3 rings and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

alkenyl of 2 - 10 carbons;  
cycloalkenyl of 5 - 12 carbons and containing 1 - 3 rings; or  
alkynyl of 3 - 10 carbons;

20 R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are independently selected from the group consisting of H;

alkyl of 1 - 10 carbons;  
cycloalkyl of 3 - 12 carbons;  
alkenyl of 2 - 10 carbons;  
cycloalkenyl of 5 - 12 carbons;

25 aryl of 6 - 13 carbons;  
heteroaryl of 3 - 9 carbons and containing 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

30 CO<sub>2</sub>R<sup>5</sup>; wherein  
R<sup>5</sup> is alkyl of 1 - 4 carbons, haloalkyl of 1 - 4 carbons,  
cycloalkyl of 3 - 6 carbons, or halocycloalkyl of 3 - 6 carbons;

halogen; and

=O, representing two of the groups R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;

X is O or S(O)<sub>y</sub>; wherein

y is 0, 1, or 2;

5 n is 2, 3, 4, or 5;

p is the sum of non-H substituents R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>;

s represents the number of double bonds in the ring, and is 0, 1, or 2;

T is a substituent selected from the group consisting of

alkyl of 1 - 4 carbons;

10 alkoxy of 1 - 4 carbons;

aryl of 6 - 10 carbons;

CO<sub>2</sub>H;

CO<sub>2</sub>R<sup>5</sup>;

alkenyl of 2 - 4 carbons;

15 alkynyl of 2 - 4 carbons;

C(O)C<sub>6</sub>H<sub>5</sub>;

C(O)N(R<sup>6</sup>)(R<sup>7</sup>); wherein

R<sup>6</sup> is H or alkyl of 1 - 5 carbons; and

R<sup>7</sup> is H or alkyl of 1 - 5 carbons;

20 S(O)<sub>y</sub>R<sup>8</sup>; wherein

y' is 1 or 2; and

R<sup>8</sup> is alkyl of 1 - 5 carbons;

SO<sub>2</sub>F;

CHO;

25 OH;

NO<sub>2</sub>;

CN;

halogen;

OCF<sub>3</sub>;

30 N-oxide;

O-C(R<sup>9</sup>)<sub>2</sub>-O, the oxygens being connected to adjacent positions on R;

and wherein

R<sup>9</sup> is H, halogen, or alkyl of 1 - 4 carbons;

C(O)NHC(O), the carbons being connected to adjacent positions on

R; and

C(O)C<sub>6</sub>H<sub>4</sub>, the carbonyl carbon and the ring carbon ortho to the carbonyl being connected to adjacent positions on R;

t is 1 - 5;

provided that when substituent moiety T is alkyl of 1 - 4 carbons; alkoxy of 1 - 4 carbons; aryl of 6 - 10 carbons;  $\text{CO}_2\text{R}^5$ ; alkenyl of 2 - 4 carbons; alkynyl of 2 - 4 carbons;  $\text{C}(\text{O})\text{C}_6\text{H}_5$ ;  $\text{C}(\text{O})\text{N}(\text{R}^6)(\text{R}^7)$ ;  $\text{S}(\text{O})_y\text{R}^8$ ;  $\text{O}-\text{C}(\text{R}^9)_2-\text{O}$ , or  $\text{C}(\text{O})\text{C}_6\text{H}_4$ , then T optionally may bear secondary substituents selected from the group consisting of alkyl of 1 - 4 carbons; alkoxy of 1 - 4 carbons;  $\text{CO}_2\text{R}^5$ ;  $\text{CO}_2\text{H}$ ;  $\text{C}(\text{O})\text{N}(\text{R}^6)(\text{R}^7)$ ;  $\text{CHO}$ ;  $\text{OH}$ ;  $\text{NO}_2$ ;  $\text{CN}$ ; halogen;  $\text{S}(\text{O})_y\text{R}^8$ ; or  $=\text{O}$ , the number of said secondary substituents being 1 or 2 with the exception of halogen, which may be employed up to the perhalo level;

10 G is a substituent selected from the group consisting of

halogen;

OH;

OR<sup>5</sup>;

=O, representing two substituents G;

15 alkyl of 1 - 4 carbons;

alkenyl of 1 - 4 carbons;

cycloalkyl of 3 - 7 carbons;

heterocycloalkyl of 3- 5 ca

the group consisting of N, O, and S;

the group consisting of N, O, and S;

20 cycloalkenyl of 5 - 7 carbons;

heterocycloalkenyl of 4 - 6 carbons and 1 - 3 heteroatoms selected from the group consisting of N, O, and S;

from the group consist

CO<sub>2</sub>R<sup>5</sup>;

25 aryl of 6 - 10 carbons;

heteroaryl of 3 - 9 carbons and 1 - 3 1  
group consisting of N, O, and S;

NO.;

CN:

30 S(O)<sub>v</sub>R<sup>8</sup>;

$\text{SO}_3\text{R}^8$ ; and

$$\text{SO}_2\text{N}(\text{R}^6)(\text{R}^7)$$

- 4. with the ex

$g$  is 0 - 4, with the exception of halogen, which may be employed up to the perhalo level;

35 provided that when substituent G is alkyl of 1 - 4 carbons, alkenyl of 1 - 4 carbons, cycloalkyl of 3 - 7 carbons, heterocycloalkyl of 3 - 5 carbons, cycloalkenyl of 5 - 7 carbons, or heterocycloalkenyl of 4 - 6 carbons, then G optionally may bear secondary substituents of halogen

